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* * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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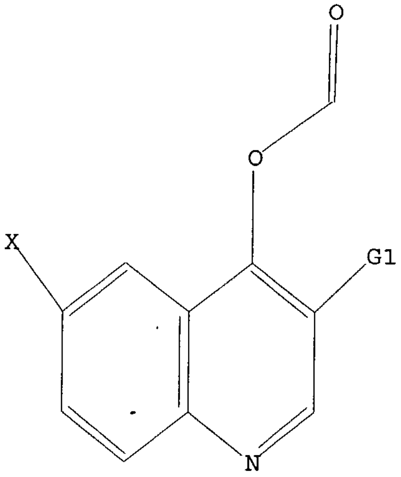

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G1 H,Ak


Structure attributes must be viewed using STN Express query preparation.

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=> file ca


=> s l3
L4          24 L3

=> d ibib abs fhitstr 1-24
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L4   ANSWER 1 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        140:357216  CA
TITLE:                   Preparation of 4-acyloxyquinoline derivatives and
                         mixed compositions containing them for controlling
                         parasitic insects
INVENTOR(S):             Oyama, Kazuhiko
PATENT ASSIGNEE(S):      Meiji Seika Kaisha, Ltd., Japan
SOURCE:                  PCT Int. Appl., 61 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE          APPLICATION NO.        DATE
     ---------------     ----   --------      -------------------    --------
     WO 2004032629        A1    20040422      WO 2003-JP12003        20030919
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                        JP 2002-299653      A  20021011

OTHER SOURCE(S):         MARPAT 140:357216
GI
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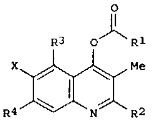

I

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AB   Disclosed is a mixed compn. for controlling alien parasitic insects on
     mammals and birds which contains, as the active ingredients, a compd. of
     the following general formula (I) or its salt [wherein R1 = C1-6 alkyl,
     C2-6 alkenyl, or C2-6 alkynyl each optionally substituted by halo or
     cyano, OR5, SR5; wherein R5 = C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl
     each optionally substituted by halo or cyano; R2 = C1-4 alkyl, halo-C1-4
     alkyl; one of R3 and R4 = H and the other = F, Cl, Br, or CF3; X = F, Cl]
     and at least one compd. selected from among pyrethroid insecticides.  The
     compn. has a synergistic and high effect of controlling resistant harmful
     insects, in particular Anoplura, Mallophaga, Siphonaptera, Mesostigmata,
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L4   ANSWER 1 OF 24  CA  COPYRIGHT 2004 ACS on STN     (Continued)
     and Diptera, shows an immediate action, and yet is highly safe.  Thus,
     3.18 g 3,4-difluoroaniline and 3.9 g Et 2-methyl-2-propionylacetate were
     refluxed in the presence of 0.3 mL BF3.OEt2 in 50 mL toluene for 3 h
     followed by refluxing the intermediate obtained in di-Ph ether for 30 min
     to give a mixt. of 2-ethyl-3-methyl-4-hydroxy-6,7-difluoroaniline and
     2-ethyl-3-methyl-4-hydroxy-5,6-difluoroaniline (1.9 g).  A suspension of
     the latter mixt. (100 mg) in 2 mL DMF was added dropwise to a suspension
     of 20 mg 60% NaH in 2 mL DMF under ice-cooling, stirred for 1 h, treated
     with 70 .mu.L cyclopropanecarbonyl chloride, and stirred at room temp.
for
     3 h to give, after workup and silica gel chromatog., 78.8 mg
     2-ethyl-3-methyl-4-(cyclopropanecarbonyloxy)-6,7-difluoroaniline and 17.8
     mg 2-ethyl-3-methyl-4-(cyclopropanecarbonyloxy)-5,6-difluoroaniline (II).
     A compn. contg. 5 ppm II and 50 ppm flumethrin killed 90% Dermanyssus
     gallinae after 24 h vs. 50% at 5 ppm for II and 10% 50 ppm for flumethrin
     when they were used alone.
IT   473236-16-7P
     RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN
     (Synthetic preparation); BIOL (Biological study); PREP (Preparation);
USES
     (Uses)
        (prepn. of acyloxyquinoline derivs. and synergistic mixed compns.
        contg. them for controlling parasitic insects)
RN   473236-16-7  CA
CN   Cyclopropanecarboxylic acid, 2-ethyl-6,7-difluoro-3-methyl-4-quinolinyl
     ester (9CI)  (CA INDEX NAME)
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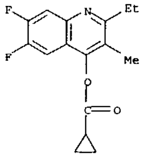

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REFERENCE COUNT:         13     THERE ARE 13 CITED REFERENCES AVAILABLE FOR
THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT
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L4   ANSWER 2 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        140:334106  CA
TITLE:                   Synergistic ectoparasiticidal compositions comprising
                         an 4-acyloxyquinoline derivative and preparation of
                         the 4-acyloxyquinoline derivative component
INVENTOR(S):             Oyama, Kazuhiko
PATENT ASSIGNEE(S):      Meiji Seika Kaisha, Ltd., Japan
SOURCE:                  U.S. Pat. Appl. Publ., 20 pp.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE          APPLICATION NO.        DATE
     ---------------     ----   --------      -------------------    --------
     US 2004077602        A1    20040422      US 2003-681174         20031009
PRIORITY APPLN. INFO.:                        US 2002-417649P     P  20021011

OTHER SOURCE(S):         MARPAT 140:334106
GI
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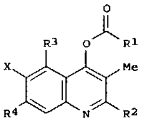

I

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AB   The invention relates to a compn. for controlling ectoparasites of
mammals
     and birds, comprising an 4-acyloxyquinoline deriv. and a pyrethroid
     insecticide.  The 4-acyloxyquinoline deriv. is I [R1 = (un)substituted
     alkyl, alkenyl, alkynyl, OR5 or SR5; R 5 = (un)substituted alkyl, alkenyl
     or alkynyl; R2 = (un)substituted alkyl; R3, R4 = H, F, Cl, Br or CF3 ; X
=
     F or Cl].  The prepn. of I is given.  The compn. is synergistic and
     fast-acting.
IT   473236-16-7P
     RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL
     (Biological study); PREP (Preparation); USES (Uses)
        (prepn. as component in synergistic ectoparasiticidal compns.)
RN   473236-16-7  CA
CN   Cyclopropanecarboxylic acid, 2-ethyl-6,7-difluoro-3-methyl-4-quinolinyl
     ester (9CI)  (CA INDEX NAME)
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L4   ANSWER 2 OF 24  CA  COPYRIGHT 2004 ACS on STN     (Continued)
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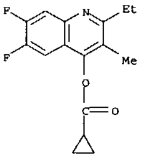


L4 ANSWER 3 OF 24 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 137:310825 CA

TITLE: Preparation of halogenated quinoline derivatives as ectoparasite controllers

INVENTOR(S): Yamamoto, Kazumi; Oyama, Kazuhiko; Sakai, Masayo; Horikoshi, Ryo

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

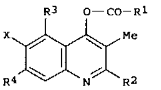
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002083644	A1	20021024	WO 2002-JP3685		20020412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1386914	A1	20040204	EP 2002-720439		20020412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NO 2003004252	A	20031208	NO 2003-4252		20030924
US 2004087618	A1	20040506	US 2003-681192		20031009
PRIORITY APPLN. INFO.:			JP 2001-114459	A	20010412
			WO 2002-JP3685	W	20020412

OTHER SOURCE(S): MARPAT 137:310825

GI



I

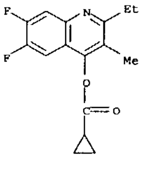
AB The title compds. I [R1 is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, OR5 (wherein R5 is optionally substituted alkyl, optionally substituted alkenyl, or optionally substituted alkynyl), or SR5 (wherein R5 is as defined above); R2 is optionally substituted alkyl; one of R3 and R4 is hydrogen and the other is fluoro, chloro, bromo, or CF3; and X is fluoro or chloro] are prepd. 2-Ethyl-3-methyl-4-cyclopropanecarbonyloxy-6,7-difluoroquinoline

L4 ANSWER 3 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)
at 50 ppm gave 80% kill of Ornithonyssus sylviarum.

IT **473236-16-7P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of halogenated quinoline derivs. as ectoparasite controllers)

RN 473236-16-7 CA

CN Cyclopropanecarboxylic acid, 2-ethyl-6,7-difluoro-3-methyl-4-quinolinyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 24 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 135:61555 CA

TITLE: Preparation of lipopeptides as antibacterial agents

INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan

PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; et al.

SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001044274	A1	20010621	WO 2000-US34205		20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
BR 2000016467	A	20020827	BR 2000-16467		20001215
EP 1246838	A1	20021009	EP 2000-991867		20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003517480	T2	20030527	JP 2001-544763		20001215
US 2004067878	A1	20040408	US 2000-737908		20001215
NO 2002002887	A	20020812	NO 2002-2887		20020617
ZA 2002005108	A	20031117	ZA 2002-5108		20020625
PRIORITY APPLN. INFO.:			US 1999-170946P	P	19991215
			US 2000-208222P	P	20000530
			WO 2000-US34205	W	20001215

OTHER SOURCE(S): MARPAT 135:61555

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Lipopeptides I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R1 is defined similarly to R (with provisos); R2 is

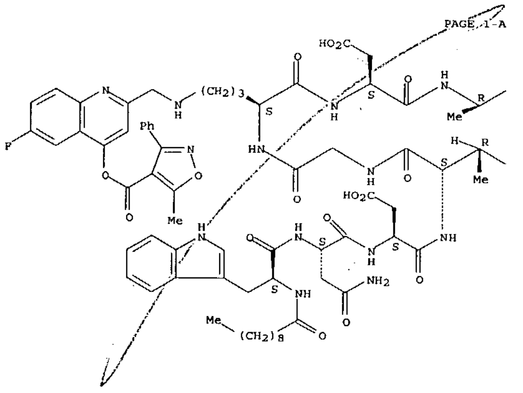
L4 ANSWER 4 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)
CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepd. for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxyborohydride in dry DMF for 24 h afforded I [R = NHCO(CH2)8Me, R1 = NHCH2C6H4F-4, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) .ltoreq. 1 .mu.g/mL.

IT **345646-60-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of lipopeptides as antibacterial agents)

RN 345646-60-8 CA

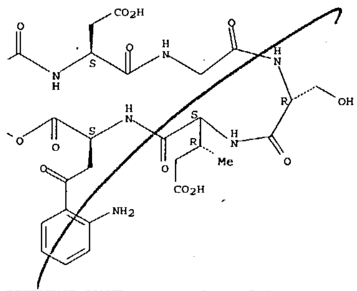
CN Daptomycin, 6-[N5-[[6-fluoro-4-[[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]oxy]-2-quinolinyl]methyl]-L-ornithine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-B

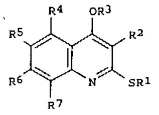


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 24 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 133:309850 CA
TITLE: Preparation of 2-mercaptoquinolines as bactericides against multidrug-resistant bacteria
INVENTOR(S): Kato, Noriyuki; Yoshida, Toshihiko; Nishino, Hiroyuki; Yoshikawa, Yumiko
PATENT ASSIGNEE(S): Hokurika Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2000302760	A2	20001031	JP 1999-361642		19991220
PRIORITY APPLN. INFO.:			JP 1999-38279	A	19990217

OTHER SOURCE(S): MARPAT 133:309850
GI

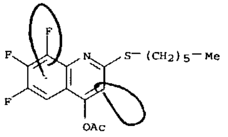


I

AB 2-Mercaptoquinolines I [R1 = H, (un)substituted alkyl, (un)substituted alkoxyalkyl, (un)substituted heterocyclyl, etc.; R2 = H, cyano, NO2, CO2H, (un)substituted alkyl, (un)substituted aryloxycarbonyl, etc.; R3 = H, (un)substituted alkyl, (un)substituted alkoxycarbonyl, (un)substituted acyl, etc.; R4-R7 = H, OH, halo, cyano, NO2, (un)substituted amino, (un)substituted heterocyclyl, etc.; R4-R7 may be linked to form (un)substituted condensed ring] or their salts are prepd. Treatment of 1.00 g Et 6,7,8-trifluoro-4-hydroxy-2-(nonylthio)quinoline-3-carboxylate with aq. HBr at 120.degree. for 2 h gave 0.78 g 6,7,8-trifluoro-4-hydroxy-2-(nonylthio)quinoline, which showed stronger antibacterial activity than ciprofloxacin against methicillin-resistant Staphylococcus aureus (MRSA) HPC1360 and MRSA HPC1336.

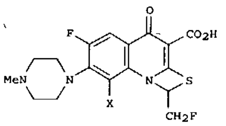
IT **302594-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-mercaptoquinolines as bactericides against multidrug-resistant bacteria)

L4 ANSWER 5 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)
RN 302594-15-6 CA
CN 4-Quinolinol, 6,7,8-trifluoro-2-(hexylthio)-, acetate (ester) (9CI) (CA INDEX NAME)



2 differences

L4 ANSWER 6 OF 24 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 132:166148 CA
TITLE: Synthesis and antibacterial activity of novel 7-substituted 6-fluoro-1-fluoromethyl-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acid derivatives
AUTHOR(S): Matsuoka, Masato; Segawa, Jun; Amimoto, Isao; Masui, Yasushi; Tomii, Yoshifumi; Kitano, Masahiko; Kise, Masahiro
CORPORATE SOURCE: Research Laboratories, Nippon Shinyaku Co., Ltd., Kyoto, 601-8550, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1999), 47(12), 1765-1773
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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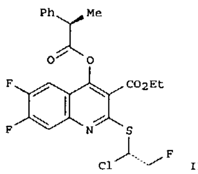
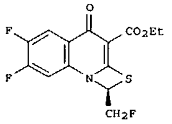


II

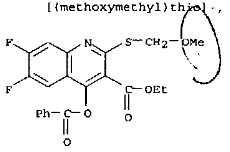


III

AB Title compds. such as I (X = H, OMe, F) were prepd. and evaluated for antibacterial activity. These compds. were obtained by deacylation of 4-benzoyloxy-2-[(1-chloro-2-fluoroethyl)thio]-6,7-difluoroquinoline-3-carboxylate and subsequent intramol. cyclization, followed by substitution with cyclic amines and then hydrolysis. The intramol. cyclization reaction of II revealed that the cyclization reaction proceeded through an inversion to afford (-)-III in good chem. and optical yield. The enantiomers of I (X = H) were prepd. from the enantiomers of III, which were obtained by optical resoln. of the racemate using high-performance liq. chromatog. I (X = H, OMe) showed excellent in vitro and in vivo antibacterial activity against both gram-neg. and gram-pos. bacteria including quinolone- and Methicillin-resistant Staphylococcus aureus.

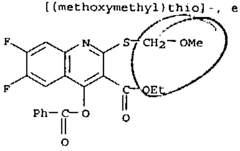
IT **166381-23-3P**

L4 ANSWER 6 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and antibacterial activity of 6-fluoro-1-fluoromethyl-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acids)
RN 166381-23-3 CA
CN 3-Quinolinecarboxylic acid, 4-(benzoyloxy)-6,7-difluoro-2-[(methoxymethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



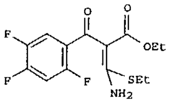
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 24 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 132:137308 CA
TITLE: Synthesis and antibacterial activity of novel 7-substituted 6-fluoro-1-methylene-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acid derivatives
AUTHOR(S): Matsuoka, Masato; Segawa, Jun; Aminoto, Isao; Masui, Yasushi; Tomii, Yoshifumi; Kitano, Masahiko; Kise, Masahiro
CORPORATE SOURCE: Research Laboratories, Nippon Shinyaku Co., Ltd., Kyoto, 601-8550, Japan
SOURCE: Heterocycles (1999), 51(12), 2915-2930
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 7-substituted 6-fluoro-1-methylene-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acid derivs. was prepd. and evaluated for antibacterial activity. These compds. were obtained by the treatment of the 1-methanesulfonyloxymethyl- or 1-(fluoromethyl)thiazetoquinolone-3-carboxylates with KOH. The compds. showed excellent in vitro antibacterial activity against both gram-neg. and gram-pos. bacteria including quinolone and Methicillin-resistant Staphylococcus aureus.
IT **166381-23-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and antibacterial activity of fluoromethylenethiazetoquinolinecarboxylic acid derivs.)
RN 166381-23-3 CA
CN 3-Quinolinecarboxylic acid, 4-(benzoyloxy)-6,7-difluoro-2-[(methoxymethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 24 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 128:154026 CA
TITLE: Studies on pyridonecarboxylic acids. V. A practical synthesis of ethyl 6,7-difluoro-1-methyl-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylate, a key intermediate for the new tricyclic quinolone, prulifloxacin (NM441) and versatile new syntheses of the 2-thioquinoline skeleton
AUTHOR(S): Matsuoka, Masato; Segawa, Jun; Makita, Yoshihiko; Ohmachi, Shinji; Kashima, Tatsuhiko; Nakamura, Ken-Ichi; Hattori, Masayuki; Kitano, Masahiko; Kise, Masahiro
CORPORATE SOURCE: Research Laboratories, Nippon Shinyaku Co., Ltd., Kyoto, 601, Japan
SOURCE: Journal of Heterocyclic Chemistry (1997), 34(6), 1773-1779
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:154026
GI



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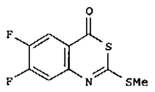
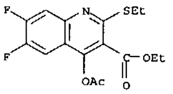


II

AB A practical synthesis of Et 6,7-difluoro-1-methyl-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylate, the key intermediate for 6-fluoro-1-methyl-7-[4-(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl-1-piperazinyl]-4-oxo-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acid, NM441, was developed. The crucial points of this synthetic route are the chlorination of Et 4-acetoxy-2-(ethylthio)-6,7-difluoroquinoline-3-carboxylate and the subsequent deacetylation of the resulting 2-(1-chloroethyl)thio compd. followed by the intramol. cyclization reaction. Versatile new syntheses of 2-thioquinoline skeleton were also developed. The first route includes the intramol. cyclization of the N,S-acetal I which was prepd. from 2,4,5-trifluorobenzoic acid in three steps. The second one contains the regioselective attack of lithium enolate of Et acetate to the novel 2-(methylthio)-4H-[3,1]benzothiazine-4-one II at the 4-position followed by the intramol. cyclization of the resulting .beta.-ketoester.
IT **154330-68-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of oxothiazetoquinolinecarboxylate as intermediate for prulifloxacin and prepn. of thioquinoline skeleton)
RN 154330-68-4 CA
CN 3-Quinolinecarboxylic acid, 4-(acetyloxy)-2-(ethylthio)-6,7-difluoro-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4   ANSWER 9 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        127:201395  CA
TITLE:                   Synthesis and insecticidal activity of new 2- and 6-
                         substituted 4-acetoxyquinolines
AUTHOR(S):               Minowa, Nobuto; Imamura, Kei-Ichi; Shibahara, Seiji
CORPORATE SOURCE:        Pharmaceutical Res. Center, Meiji Seika Kaisha, Ltd.,
                         Yokohama, 222, Japan
SOURCE:                  Bioscience, Biotechnology, and Biochemistry (1997),
                         61(7), 1213-1215
                         CODEN: BBBIEJ; ISSN: 0916-8451
PUBLISHER:               Japan Society for Bioscience, Biotechnology, and
                         Agrochemistry
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB   The 4-acetoxyquinolines possessing 2-alkyl, alkenyl, and 6-fluoro groups
     were synthesized and their insecticidal activities were evaluated.  The
     highest activity was shown by 4-acetoxy-2-ethyl-6-fluoro-3-
     methylquinoline.
IT   192941-99-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (intermediate in prepn. of insecticidal acetoxyquinoline derivs.)
RN   192941-99-4  CA
CN   4-Quinolinol, 6-fluoro-2,3-dimethyl-, benzoate (ester) (9CI)  (CA INDEX
     NAME)
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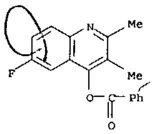

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L4   ANSWER 10 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        124:260863  CA
TITLE:                   Preparation of optically active 2-(1-
                         chloroethylthio)quinolinecarboxylic acid derivative
INVENTOR(S):             Segawa, Jun; Matsuoka, Masato; Amimoto, Isao
PATENT ASSIGNEE(S):      Nippon Shinyaku Co., Ltd., Japan
SOURCE:                  PCT Int. Appl., 35 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE       APPLICATION NO.      DATE
     ---------------     ----  --------   -------------------- --------
     WO 9600217           A1   19960104   WO 1995-JP1255       19950623
         W:  JP, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
     EP 768303            A1   19970416   EP 1995-922744       19950623
         R:  DE, FR, GB, IT
PRIORITY APPLN. INFO.:                    JP 1994-144892       19940627

                                          WO 1995-JP1255       19950623

OTHER SOURCE(S):         CASREACT 124:260863; MARPAT 124:260863
GI
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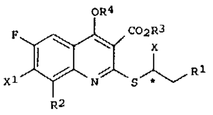

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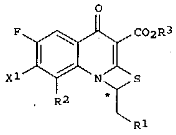

II

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AB   Diastereomers [I; R1 = H, halo, HO, alkoxy, aryloxy, acyloxy; R2 = H,
     alkyl, alkoxy, NH2, NO2, halo; R3 = alkyl; R4 = chiral acyl; X = Cl,
     wherein * denotes a chiral C atom with R or S abs. configuration; X1 =
     halo, (un)substituted cyclic amino or Ph] are obtained by reacting a
     4-hydroxyquinoline compd. I (R4 = X = H; R1, R2, R3, X1 = same as above)
     with a chiral carboxylic or amino acid or a reactive deriv. thereof,
     chlorinating the chiral ester I (R4 = chiral acyl, X = H; R1, R2, R3, X1
=

     same as above), and sepg. the obtained diastereomeric mixt.  This process
     efficiently gives the diastereomers I in a large scale, which are
     efficiently cyclized to economically give optically active alkyl
     6-fluoro-7-halo-1-(substituted methyl)-4-oxo-4H-[1,3]thiazeto[3,2-
     a]quinolinecarboxylate (II; R1 - R3, X = same as above), in turn useful
as

     intermediates for antibacterial agents.  Thus, 2.40 g Et
     6,7-difluoro-2-(2-fluoroethyl)thio-4-hydroxyquinoline-3-carboxylate and
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L4   ANSWER 10 OF 24  CA  COPYRIGHT 2004 ACS on STN     (Continued)
     1.01 g Et3N were dissolved in CHCl3, followed by slowly adding dropwise a
     soln. of 1.70 g (R)-(-)-2-phenylpropionyl chloride in 5.0 mL CHCl3, and
     the reaction mixt. was stirred at room temp. for 5 h to give the chiral
     ester I [R1 = X1 = F, X = R2 = H, R3 = Et, R4 = (R)-2-phenylpropionyl].
     The latter compd. (2.35 g) and 1.37 g SO2Cl2 were dissolved in 50 mL
     CH2Cl2 and refluxed for 2 h to give, after silica gel chromatog., a mixt.
     of the diastereoisomers I [R1 = X1 = F, X = Cl, R2 = H, R3 = Et, R4 =
     (R)-2-phenylpropionyl] in 83.3% yield, which were resolved by recrystg.
it
     three times from iso-Pr ether to give the pure diastereoisomer of 96.3%
     d.e. in 59.6% yield.  A soln. of the latter ester 150, Et3N 90, H2O 60
mg,
     and 3.0 mL THF was refluxed for 6 h to give Et
4-oxo-4H-[1,3]thiazeto[3,2-
     a]quinolinecarboxylate deriv. (-)-II (R1 = X1 = F, R2 = H, R3 = Et) in
     83.8% yield.
IT   175074-26-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. of optically active alkyl
(chloroethylthio)quinolinecarboxylate
        chiral acyl ester by esterification and chlorination of alkyl
        (ethylthio)hydroxyquinolinecarboxylate and resoln.)
RN   175074-26-7  CA
CN   3-Quinolinecarboxylic acid,
6,7-difluoro-2-[(2-fluoroethyl)thio]-4-(1-oxo-
     2-phenylpropoxy)-, ethyl ester (9CI)  (CA INDEX NAME)
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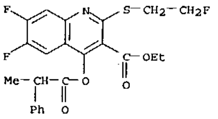

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L4   ANSWER 11 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        124:200286  CA
TITLE:                   Thiazetoquinoline carboxylic acid derivatives enzymic
                         manufacture
INVENTOR(S):             Kojima, Masahiko; Sakai, Tomonori; Matsuoka, Masato
PATENT ASSIGNEE(S):      Nippon Shinyaku Co Ltd, Japan
SOURCE:                  Jpn. Kokai Tokkyo Koho, 8 pp.
                         CODEN: JKXXAF
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE       APPLICATION NO.      DATE
     ---------------     ----  --------   -------------------- --------
     JP 08009993          A2   19960116   JP 1994-144879       19940627
PRIORITY APPLN. INFO.:                    JP 1994-144879       19940627

OTHER SOURCE(S):         MARPAT 124:200286
GI
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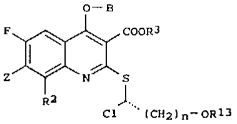

I

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AB   Optically active bactericides thiazetoquinoline carboxylic acid derivs.
     (I: R2 = H, alkyl, etc.; R3 = alkyl; R13 acyl; B = protecting group for
     hydroxy; Z = halogen, (un)substituted arom. amino or phenyl; n = 1-10)
are
     manufd. by asym. transesterification and/or hydrolysis with lipase from a
     racemic thiazetoquinoline carboxylic acid deriv.  Prepn. of

(+)-2-(2-benzoyloxy-1-chloroethyl)-thio-4-benzoyloxy-6,7-difluoroquinolin-
     3-carboxylic acid Et ester and its alc. from racemic 2-(2-acetoxy-1-
     chloroethyl)thio-4-benzoyloxy-6,7-difluoroquinolin-3-carboxylic acid Et
     ester with lipase QL by first asym. hydrolysis to get (+)-alc. and then
     asym. transesterification in the presence of benzoic anhydride was shown.
IT   166381-57-3P
     RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP
     (Preparation)
        (bactericides thiazetoquinoline carboxylic acid derivs. enzymic
manuf.)
RN   166381-57-3  CA
CN   3-Quinolinecarboxylic acid, 2-[[2-(acetyloxy)-1-chloroethyl]thio]-4-
     (benzoyloxy)-6,7-difluoro-, ethyl ester, (R)- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.
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L4   ANSWER 11 OF 24  CA  COPYRIGHT 2004 ACS on STN      (Continued)
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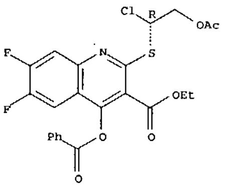

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L4   ANSWER 12 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         123:143875  CA
TITLE:                    Preparation of thiazetoquinolinecarboxylic acid
                          derivatives as bactericides
INVENTOR(S):              Segawa, Jun; Matsuoka, Masato; Tomii, Yoshifumi
PATENT ASSIGNEE(S):       Nippon Shinyaku Co., Ltd., Japan
SOURCE:                   PCT Int. Appl., 94 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE          APPLICATION NO.          DATE
     ---------------     ----  --------      --------------------     --------
     WO 9414819           A1   19940707      WO 1993-JP1897           19931227
         W:  CA, JP, KR, RU, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
     CA 2152791           AA   19940707      CA 1993-2152791          19931227
     EP 675127            A1   19951004      EP 1994-903073           19931227
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT, SE
     CN 1093709           A    19941019      CN 1993-121470           19931228
PRIORITY APPLN. INFO.:                       JP 1992-360552           19921228

                                             JP 1993-270059           19931001

                                             WO 1993-JP1897           19931227

OTHER SOURCE(S):          MARPAT 123:143875
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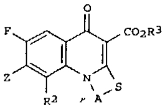

I

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AB   The title compds. I [Z represents (un)substituted cyclic amino or phenyl;
     A represents C:CH2 or CHR1; R1 represents fluoroalkyl; R2 represents
     hydrogen, alkyl, alkoxy, amino or halogen; and R3 represents hydrogen or
     alkyl] are prepd.  I [Z = 4-aminophenyl; R2 = R3 = H; A = C:CH2] (prepn.
     given) in vitro showed MICs of .ltoreq. 0.006, 0.025, and 0.1 .mu.g/mL
     against Staphylococcus aureus 209-P JC-1, Escherichia coli KC-14, and
     Pseudomonas aeruginosa IFO 3445, resp.  Compds. of this invention showed
     oral ED50 of 18.3 to 51.1 mg/Kg in mice infected with Staphylococcus
     aureus KC 5879, vs. oral ED50 of > 200 mg/Kg shown by ciprofloxacin.
IT   166381-23-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. of thiazetoquinolinecarboxylic acid derivs. as bactericides)
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L4   ANSWER 12 OF 24  CA  COPYRIGHT 2004 ACS on STN      (Continued)
RN   166381-23-3  CA
CN   3-Quinolinecarboxylic acid, 4-(benzoyloxy)-6,7-difluoro-2-
     [(methoxymethyl)thio]-, ethyl ester (9CI)  (CA INDEX NAME)
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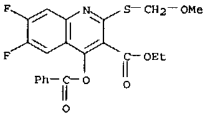

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L4   ANSWER 13 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         122:213950  CA
TITLE:                    Preparation of 2(1H)-2-pyridone derivatives with
                          inhibiting activity of interleukin-1 production
INVENTOR(S):              Haruta, Junichi; Yokota, Katsuyuki; Manabe, Shunichi;
                          Uchida, Itsuro
PATENT ASSIGNEE(S):       Nippon Tobacco Sangyo, Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 25 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE          APPLICATION NO.          DATE
     ---------------     ----  --------      --------------------     --------
     JP 07002779          A2   19950106      JP 1993-146192           19930617
PRIORITY APPLN. INFO.:                       JP 1993-146192           19930617

OTHER SOURCE(S):          MARPAT 122:213950
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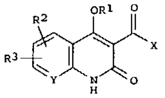

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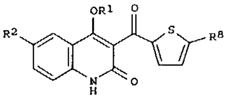

II

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AB   Heterocyclylcarbonylquinolinone derivs. [I; R1 = H, lower alkyl, acyl;
R2,
     R3 = H, lower alkyl, halo, NO2, cyano, CO2R4, (CH2)nR5; wherein R4 = H,
     lower alkyl; n = 0, 1-4; R5 = Ph, lower alkoxy, OH, NR6R7; wherein R6, R7
     = H, lower alkyl, H2N-protective group; X = (un)substituted 5- or
     6-membered ring heterocyclyl or fused heterocyclyl; Y = CH, N], having
     high oral absorbability and useful for the treatment of autoimmune
     diseases and as antirheumatics, are prepd.  Thus, 2.59 mL 2-thenoyl
     chloride was added dropwise to a mixt. of 5.46 g AlCl3 and nitrobenzene
     with stirring at room temp. and 3.00 g 4-hydroxy-2(1H)-quinolinone was
     added followed by heating the mixt. at 110.degree. with stirring for 8 h
     to give a title quinolinone deriv. (II; R1 = R2 = R8 = H) (III) (2.82 g).
     III, II (R1 = Ac, R2 = Cl, R8 = H), and II (R1 = Ac, R2 = Cl, R8 = Me) in
     vitro showed IC50 of >300, 21, and 16 .mu.M, resp., for inhibiting the
     interleukin-1 prodn. in human mononuclear leukocyte.
IT   161833-53-0P
     RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of heterocyclylcarbonylquinolinone derivs. with interleukin-1
        prodn.-inhibiting activity for treatment of autoimmune disease and as
        antirheumatics)
RN   161833-53-0  CA
CN   2(1H)-Quinolinone, 4-(acetyloxy)-6-chloro-3-(2-thienylcarbonyl)- (9CI)
     (CA INDEX NAME)
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L4   ANSWER 13 OF 24  CA  COPYRIGHT 2004 ACS on STN      (Continued)
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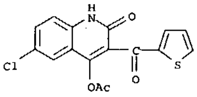

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L4   ANSWER 14 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        120:270140  CA
TITLE:                   Preparation of quinolinecarboxylic acid derivatives
INVENTOR(S):             Segawa, Jun; Makita, Yoshihiko
PATENT ASSIGNEE(S):      Nippon Shinyaku Co., Ltd., Japan
SOURCE:                  PCT Int. Appl., 32 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE      APPLICATION NO.      DATE
     ---------------     ----  --------  -------------------- --------
     WO 9325532           A1   19931223  WO 1993-JP795        19930615
         W:  AT, AU, BG, BR, CA, CZ, DE, DK, FI, GB, HU, JP, KR, MN, NL, NO,
             NZ, PT, RO, RU, SE, SK, UA, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9343559           A1   19940104  AU 1993-43559        19930615
     CN 1081672           A    19940209  CN 1993-106999       19930616
PRIORITY APPLN. INFO.:                   JP 1992-183127       19920616

                                         JP 1992-232885       19920806

                                         JP 1992-257339       19920831

                                         WO 1993-JP795        19930615

OTHER SOURCE(S):         CASREACT 120:270140; MARPAT 120:270140
GI
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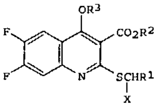

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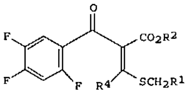

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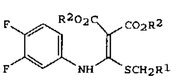
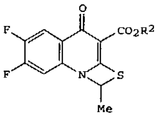


III



IV

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AB   The title compds. (I; R1 = H, alkyl; R2 = alkyl; R3 = H, acyl; X = H, Cl)
     are prepd. by (1) ring closure reaction of 2-(trifluorobenzoyl)-3-
     aminoacrylate deriv. (II; R1, R2 = same as above; R4 = H2N) or (2)
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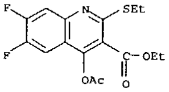
L4   ANSWER 14 OF 24  CA  COPYRIGHT 2004 ACS on STN      (Continued)
     reaction of 3,4-difluoroaniline with R1CH2S(O)C(SCH2R1):C(SCO2R2)2 (R1,
R2
     = same as above) and ring closure reaction of the resulting malonic acid
     deriv. (III; R1, R2 = same as above).  The invention process, using these
     compds. as in intermediates, can provide an antibacterial intermediate
     alkyl 6,7-difluoro-1-methyl-4-oxo-4H-[1,3]thiazeto[3,2-
     a]quinolinecarboxylate (IV; R2 = alkyl) in fewer steps than the
     conventional process efficiently and economically.  Thus, condensation of
     Et (2,4,5-trifluorobenzoyl)acetate by CS2 in DMF contg. K2CO3 followed by
     ethylation with EtI gave II (R1 = Me, R2 = Et, R4 = EtS).  Ammonolysis of
     the latter compd. with 10% NH3 in EtOH in a sealed tube at 80.degree.
gave
     II (R1 = Me, R2 = Et, R4 = H2N) which was heated with KHCO3 in DMF at
     80.degree. to give I (R1 = Me, R2 = Et, R3 = X = H).  Acetylation of the
     latter compd. by Ac2O in pyridine and chlorination of the resulting
     acetate I (R1 = Me, R2 = Et, R3 = Ac, X = H) by SO2Cl2 in refluxing
     n-hexane gave the chloride deriv. I (R1 = Me, R2 = Et, R3 = Ac, X = Cl)
     which was heated with AcONa in refluxing THF to give oxo-4H-
     [1,3]thiazeto[3,2-a]quinoline deriv. IV (R2 = Et).
IT   154330-68-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and chlorination of)
RN   154330-68-4  CA
CN   3-Quinolinecarboxylic acid, 4-(acetyloxy)-2-(ethylthio)-6,7-difluoro-,
     ethyl ester (9CI)  (CA INDEX NAME)
```



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L4   ANSWER 15 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        114:228763  CA
TITLE:                   Preparation of insecticidal and acaricidal
                         4-acyloxyquinolines
INVENTOR(S):             Minowa, Nobuto; Machinami, Tomoya; Shibahara, Seiji;
                         Imamura, Keiichi; Iwata, Michiaki; Shimura, Masaru;
                         Inouye, Shigeharu
PATENT ASSIGNEE(S):      Meiji Seika Kaisha, Ltd., Japan
SOURCE:                  Eur. Pat. Appl., 28 pp.
                         CODEN: EPXXDW
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE      APPLICATION NO.      DATE
     ---------------     ----  --------  -------------------- --------
     EP 407192            A2   19910109  EP 1990-307357       19900705
     EP 407192            A3   19911002
     EP 407192            B1   19970305
         R:  CH, DE, FR, GB, IT, LI
     US 5190952           A    19930302  US 1990-549136       19900705
     EP 669321            A1   19950830  EP 1995-200798       19900705
     EP 669321            B1   20000927
         R:  CH, DE, FR, GB, IT, LI
     CA 2020667           AA   19910108  CA 1990-2020667      19900706
     CA 2020667           C    20020604
     JP 03128355          A2   19910531  JP 1990-179085       19900706
     JP 2633377           B2   19970723
     KR 134084            B1   19980422  KR 1990-10230        19900706
PRIORITY APPLN. INFO.:                   JP 1989-176187     A 19890707

                                         EP 1990-307357    A3 19900705

OTHER SOURCE(S):         MARPAT 114:228763
GI
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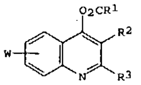

I

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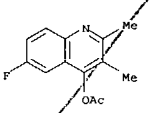
AB   4-Acyloxyquinolines I [R1 = H, C1-18 alkyl, C2-18 alkenyl, (substituted)
     C3-10 cycloalkyl, aryl, phenoxyalkyl, phenylalkyl, OR4, 2-furyl,
     2-thienyl; R2 = H, C1-4 alkyl, CO2R5; R3 = H, C1-10 alkyl, C2-10 alkenyl
     such that R1 .noteq. OR4 when R2 = H and R3 = Me; R2R3 = (CH2)m, m = 3,4;
     R4 = C1-4 alkyl, aryl; R5 = H, C1-4 alkyl; W = H, 1-4 halo atoms, C1-4
     alkyl or alkoxy, excluding the compd. where R1 = Me, R2 = R3 = W = H]
were
     prepd. via O-acylation of the corresponding quinolone with R1COCl or
     (R1CO)2O.  Thus, a soln. of 2,3-dimethyl-4-quinolone in Ac2O was stirred
8
     h at 13.degree. to give title compd. I (R1, R2 = Me, R1 = Ac, W = H)
(II).
     II at 100 ppm showed 1200% control of Myzus persicae aphids on cabbage
```

L4 ANSWER 15 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)

leaves. Formulations of I are described.

IT **133766-71-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as insecticide and acaricide)
RN 133766-71-9 CA
CN 4-Quinolinol, 6-fluoro-2,3-dimethyl-, acetate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 24 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 114:6306 CA
TITLE: Preparation of 2,3-disubstituted-4-hydroxyquinolines as leukotriene D4 antagonists
INVENTOR(S): Minowa, Nobuto; Machinami, Tomoya; Shomura, Takashi; Sezaki, Masaji; Sasaki, Toru; Shibahara, Seiji; Inouye, Shigeharu
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

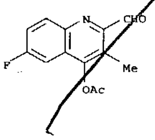
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 374765	A1	19900627	EP 1989-123280	19891215
EP 374765	B1	19940622		
R: DE, FR, GB, IT				
JP 02256665	A2	19901017	JP 1989-324967	19891214
JP 2574489	B2	19970122		
US 5194617	A	19930316	US 1991-807946	19911210
PRIORITY APPLN. INFO.:			JP 1988-319231	19881217
			US 1989-449012	19891211

OTHER SOURCE(S): MARPAT 114:6306
GI For diagram(s), see printed CA Issue.

AB The title compds. (I; R = H, 1-4 halogen atoms or alkyl groups; R1 = H, R3CO; R2 = H, Me, Et; R3 = alkyl; Z = CH2CH:CH, (HO)CHCH:CH, (HO)CHC.tplbond.C, CH:CHCH2, CH2C.tplbond.C), LTD4 antagonists useful for treating allergic diseases and asthma, were prepd. A soln. of 2-formyl-3-methyl-4-acetoxyquinoline in THF was added to a pre-stirred soln. of Me(CH2)7PPh3Br and BuLi in THF/HMPA at -78.degree. and the mixt. was stirred 15 min at that temp. and 30 min at -42.degree.. The product (20%) in MeOH was stirred 10 min with aq. Na2CO3 to give 87% I (R = R1 = H, R2 = Me, Z = trans-CH:CHCH2) (II). The latter at 2 .mu.g/mL in vitro gave 100% inhibition of LTD4-induced contraction of guinea pig ileum. II in an anoxia test in mice gave a surviving time of 293.5 s vs. 122.2 s for a control.

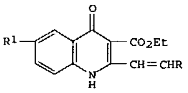
IT **130772-19-9**, 2-Formyl-3-methyl-4-acetoxy-6-fluoroquinoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(Wittig reaction of, with octyltriphenylphosphonium bromide, in prepn. of LTD4 antagonist)
RN 130772-19-9 CA
CN 2-Quinolinecarboxaldehyde, 4-(acetyloxy)-6-fluoro-3-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 17 OF 24 CA COPYRIGHT 2004 ACS on STN

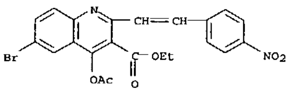
ACCESSION NUMBER: 111:133964 CA
TITLE: Structural analysis of 2,3,6-trisubstituted 1,4-dihydro-4-oxoquinolines by carbon-13 and nitrogen-15 NMR
AUTHOR(S): Kononov, L. I.; Veinberg, G. A.; Liepins, E.; Lukevics, E.
CORPORATE SOURCE: Inst. Org. Sint., Riga, 226006, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1988), (10), 1381-4
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 111:133964
GI



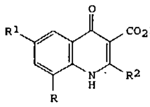
I

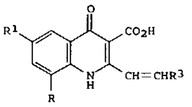
AB The title compds. (I; R = 4-nitrophenyl, R1 = H, MeO, Cl, Br; R = 5-nitro-2-furyl, R1 = H, MeO) were stabilized in the oxoquinoline form by the arylidene groups at C-2.

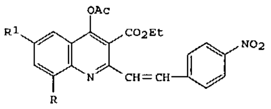
IT **120420-64-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)
RN 120420-64-6 CA
CN 3-Quinolinecarboxylic acid, 4-(acetyloxy)-6-bromo-2-[2-(4-nitrophenyl)ethenyl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 24 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 110:192622 CA
TITLE: Synthesis and isomeric transformations of some 2-substituted 1,4-dihydro-4-oxo-3-quinolinecarboxylic acid derivatives
AUTHOR(S): Kononov, L. I.; Veinberg, G. A.; Liepins, E.; Dipan, I. V.; Sukhova, N. M.; Lukevics, E.
CORPORATE SOURCE: Inst. Org. Sint., Riga, 226006, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1988), (7), 931-8
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 110:192622
GI


I


II


III

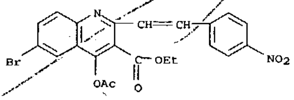
AB Cyclocondensation reaction of 2,4-RR1C6H3NH2 (R = H, R1 = H, Br, Cl, MeO; R = F, R1 = H) with MeCOCH(CO2Et)2 in the presence of aq. HCl gave 2,4-RR1C6H3NHAc (same R, R1), CH2(CO2Et)2 and .ltoreq.61% esters I (same R, R1; R2 = Me), which was sapond. to the corresponding acids in 89-93% yield. Refluxing all 5 I with R3CHO (R3 = 4-O2NC6H4, 5-nitro-2-furyl) gave 7 corresponding acids II in 50-94% yield in AcOH and 52-65% esters III (same R, R1 = H) in Ac2O. Reversible deacetylation of III (R = R1 = H) with aq. HCl in EtOH gave 40% II (same R, R1; R3 = C6H4NO2-p). IR and NMR data were given for all products.

IT **120420-64-6P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)

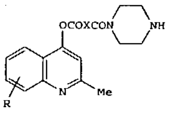
RN 120420-64-6 CA

CN 3-Quinolinecarboxylic acid, 4-(acetyloxy)-6-bromo-2-[2-(4-nitrophenyl)ethenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 19 OF 24 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 107:39755 CA
TITLE: Some new 2-methyl-4-[piperazinylcarbonylethyl/ethenyl/o-phenylenylcarbonyloxy]-6,7-substituted quinolines as possible anticonvulsants
AUTHOR(S): Husain, M. Imtiaz; Singh, E.; Amir, M.
CORPORATE SOURCE: Dep. Chem., Lucknow Univ., Lucknow, 226007, India
SOURCE: Journal of the Chemical Society of Pakistan (1986), 8(3), 335-9
CODEN: JCSPDF; ISSN: 0253-5106
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:39755
GI


I

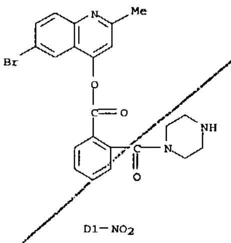
AB The title compds. I (R = 6-Me, 6-Cl, 6-Br, 6-MeO, 6-EtO, 7-Cl; X = CH2CH2, CH:CH, 1,2-C6H4, 1-NO2-3,4-C6H3) were synthesized by the condensation of 2-methyl-4-hydroxy-6,7-substituted quinolines, with piperazinylcarbonyl acid chlorides in pyridine. Some of the compds. at a concn. of 1 .times. 10-3 mol/L inhibited rat brain monoamine oxidase (MAO) in vitro and provided protection against pentylenetetrazole-induced convulsions in mice.

IT **108916-74-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anticonvulsant activity of)

RN 108916-74-1 CA

CN Benzoic acid, 4(or 5)-nitro-2-(1-piperazinylcarbonyl)-, 6-bromo-2-methyl-4-quinolinyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)



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L4   ANSWER 20 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         98:107134  CA
TITLE:                    New 4-quinolinol and 5,6,7,8-tetrahydro-4-quinolinol
                          derivatives with biocidal effect
AUTHOR(S):                Gildemeister, Horst; Knorr, Harald; Mildenberger,
                          Hilmar; Salbeck, Gerhard
CORPORATE SOURCE:         Pflanzenschutzf.-Chem. G 830, Hoechst A.-G.,
                          Frankfurt/Main, D-6230/80, Fed. Rep. Ger.
SOURCE:                   Liebigs Annalen der Chemie (1982), (9), 1656-76
                          CODEN: LACHDL; ISSN: 0170-2041
DOCUMENT TYPE:            Journal
LANGUAGE:                 German
OTHER SOURCE(S):          CASREACT 98:107134
GI
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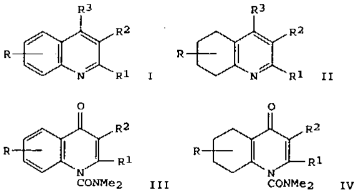

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AB   Quinolinol I and tetrahydroquinolinol derivs. II [R = H, 5-, 6-, 7-,
8-Cl,
     7-NO2, 6-Me, 7-CF3, 6-Me2CH, 8-Me, 8-MeO; R1 = H, Me, CF3, MeCH2CH2, EtS,
     EtO, Ph; R2 = H, Me, Cl, Ph, CO2Et, NO2, cyano; R3 = Me2NCO, Me2NSO2,
     MeO2CO, EtOP(O)(:SPr)O, Cl, (un)substituted phenoxy, SH, substituted
     anilino, Me2N(CH2)3NH, PhCH2CH2NH, etc.], III and IV were prepd. from I
     and II (R3 = HO) as potential insecticides and fungicides.  Several II
(R3
     = HO) were prepd. by hydrogenation of I (R3 = HO).
IT   56716-41-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
RN   56716-41-7  CA
CN   Carbamic acid, dimethyl-, 6-chloro-2-methyl-4-quinolinyl ester (9CI)  (CA
     INDEX NAME)
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L4   ANSWER 20 OF 24  CA  COPYRIGHT 2004 ACS on STN      (Continued)
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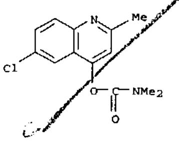

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L4   ANSWER 21 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         93:132343  CA
TITLE:                    Synthesis of 2-methyl-4-[p-(substituted-
                          benzylideneamino)benzoyloxy]quinolines and
                          2-methyl-4-[o-(substituted-
                          benzylideneamino)benzoyloxy]quinolines as
                          anticonvulsant agents
AUTHOR(S):                Shukla, J. S.; Rastogi, Renu; Saxena, Shradha
CORPORATE SOURCE:         Chem. Dep., Lucknow Univ., Lucknow, India
SOURCE:                   Indian Journal of Chemistry, Section B:  Organic
                          Chemistry Including Medicinal Chemistry (1980),
                          19B(1), 84-5
                          CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
GI
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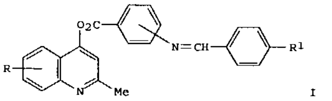
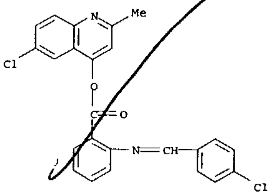


```
AB   2-Methyl-4-(o- and p-benzylideneaminobenzoyloxy)quinoline derivs. I (R =
     7-Me, 6-OMe, 6-Cl, 6-Me; R1 = Cl, OH, NHAc, NMe2) were prepd. by
     esterifying the 4-quinolinols.  They have 30 to 80% anticonvulsant
     activity at 100 mg/kg i.p. in mice.
IT   74767-08-1P
     RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (prepn. and anticonvulsant activity of)
RN   74767-08-1  CA
CN   Benzoic acid, 2-[[(4-chlorophenyl)methylene]amino]-, 6-chloro-2-methyl-4-
     quinolinyl ester (9CI)  (CA INDEX NAME)
```



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L4   ANSWER 22 OF 24  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         92:146616  CA
TITLE:                    Pyridyl carbamates and insecticidal compositions
                          containing them
PATENT ASSIGNEE(S):       Hoechst A.-G., Fed. Rep. Ger.
SOURCE:                   Israeli, 19 pp.
                          CODEN: ISXXAQ
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE          APPLICATION NO.        DATE
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     IL 47609             A1   19780831      IL 1975-47609          19750630
PRIORITY APPLN. INFO.:                       IL 1975-47609          19750630

GI
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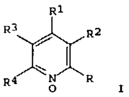

```
AB   Twenty pyridyl dimethylcarbamates I [R (or R1) = Me2NCO2, R1 (or R) = H,
     C1-3-alkyl or Ph; R2 = H or C1-3-alkylcarbonyl; R3, R4 = H or C1-4-alkyl,
     or R3R4 = (substituted) tetramethylene or CH:CHCH:CH], which had
     insecticidal activity (esp. toward aphids), were prepd.  Thus, oxidn. of
     2-methyl-4-quinolyl dimethylcarbamate by 3-chloroperbenzoic acid gave I
(R
     = Me, R1 = Me2NCO2, R2 = H, R3R4 = CH:CHCH:CH), which had .apprx.8 times
     the insecticidal activity of isolan toward bean aphids (Doralis fabae).
IT   73220-08-3P
     RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (prepn. and insecticidal activity of)
RN   73220-08-3  CA
CN   Carbamic acid, dimethyl-, 6-chloro-2-methyl-1-oxido-4-quinolinyl ester
     (9CI)  (CA INDEX NAME)
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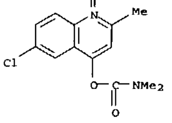


L4 ANSWER 22 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 23 OF 24 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 83:114227 CA
TITLE: N,N-Dialkylaminocarbamic acid esters of substituted hydroxypyridine derivatives
INVENTOR(S): Studeneer, Adolf; Salbeck, Gerhard; Emmel, Ludwig; Knauf, Werner
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2361438	A1	19750626	DE 1973-2361438	19731210
DE 2361438	C3	19791213		
DE 2361438	B2	19790426		
ZA 7407735	A	19751231	ZA 1974-7735	19741204
ES 432594	A1	19770401	ES 1974-432594	19741204
FI 7403524	A	19750611	FI 1974-3524	19741205
FI 58774	B	19801231		
FI 58774	C	19810410		
NL 7415870	A	19750612	NL 1974-15870	19741205
CH 611488	A	19790615	CH 1974-16195	19741205
DK 7406361	A	19750811	DK 1974-6361	19741206
DK 142113	B	19800901		
DK 142113	C	19810126		
GB 1489906	A	19771026	GB 1974-52964	19741206
RO 65069	P	19781015	RO 1974-88211	19741206
RO 63735	P	19790115	RO 1974-80721	19741206
IL 46200	A1	19800229	IL 1974-46200	19741206
DD 115421	C	19751005	DD 1974-182875	19741207
SE 7415355	A	19750611	SE 1974-15355	19741209
JP 50088073	A2	19750715	JP 1974-140594	19741209
AU 7476174	A1	19760610	AU 1974-76174	19741209
AT 7409800	A	19770715	AT 1974-9800	19741209
PL 98709	P	19780531	PL 1974-176285	19741209
HU 172372	P	19780828	HU 1974-HO1751	19741209
SU 797544	D	19810115	SU 1974-2081001	19741209
BE 823171	A1	19750610	BE 1974-151347	19741210
FR 2253743	A1	19750704	FR 1974-40435	19741210
FR 2253743	B1	19790223		
CS 177887	P	19770831	CS 1974-8417	19741210
CA 1042892	A1	19781121	CA 1974-215586	19741210
IN 142716	A	19770820	IN 1976-CA1023	19760611
US 4168311	A	19790918	US 1977-808368	19770620
PRIORITY APPLN. INFO.:			DE 1973-2361438	19731210
			DE 1974-2432635	19740706
			IN 1974-CA2670	19741203
			US 1974-531172	19741209

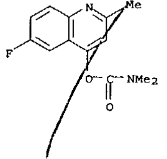
L4 ANSWER 23 OF 24 CA COPYRIGHT 2004 ACS on STN (Continued)

			US 1975-592801	19750703

GI For diagram(s), see printed CA Issue.

AB Fifty-nine pyridinyl and quinolinyl carbamates I [R1 = H, CO2Me, CO2Et, Ac; R2 = O2CNMe2, OC(S)NMe2; O2CNEt2; R3 = H, Cl, Br], II [R = H, Me, O2CNMe2, CO2Et; R1 = H, Me, NO2, cyano, CO2Et; RR1 = (CH2)4; R2 = O2CNMe2, O2CNEt2, OC(S)NMe2, H, Me; R3 = H, 6-, 7-, 8-Me, 6,8-Me2, 6-,8-CHMe2, 6-CMe3, 6-F, 6-Cl, 7-Br, 6-, 8-MeO, 6,7-OCH2O, 6-OCHF2, 6-cyclohexyl, 6-, 8-PhO, 7-EtS, 6-NO2, 6-NH2, 6-, 7-NEt2], III [R = Me, O2CNMe2, R1 = O2CNMe2, O2CNEt2, Me, OC(S)NMe2; R2 = H, 6-, 8-Me, 5,8-Me2, 6-, 8-CHMe2, 6-CMe3, 6-cyclohexyl, 7-CF3, 6-, 7-MeO, 6-NEt2], IV, V, and VI, useful as insecticides without adverse effects on useful insects and fish at concns. normally used, were prepd. by treating the corresponding 2- or 4-hydroxy compds. with carbamoyl or thiocarbamoyl halides. These compds. gave 100% mortality of black bean aphids at 0.00019% in aq. mixts.

IT **56716-39-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 56716-39-3 CA
CN Carbamic acid, dimethyl-, 6-fluoro-2-methyl-4-quinolinyl ester (9CI) (CA INDEX NAME)

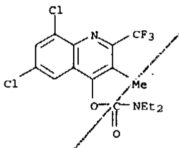


L4 ANSWER 24 OF 24 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 78:4095 CA
TITLE: 4-(Dialkylamino)quinolines and 3,3-disubstituted 4(3H)-quinolones from 4H-3,1-benzoxazinones and ynamines
AUTHOR(S): Hoefle, Gerhard; Hollitzer, Oswald; Steglich, Wolfgang
CORPORATE SOURCE: Org.-Chem. Inst., Tech. Univ. Berlin, Berlin, Fed. Rep. Ger.
SOURCE: Angewandte Chemie, International Edition in English (1972), 11(8), 720-2
CODEN: ACIEAY; ISSN: 0570-0833
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB 4H-3,1-Benzoxazinones (I; R = CF3, H, Me, Ph; R1. R3 = H, Cl, Br, R2 = H, Cl) were treated with MeC.tplbond.CNEt2 to give the corresponding 4-(diethylamino)quinolines (II). In MeCN or Me2CHOH appreciable amts. of 4(3H)-quinolones (III) were also formed. I (R = Ph, R1 = R2 = R3 = H) gave 1-benzoyl-4(1)-quinolone as a 3rd product. Hydrolysis of III gave 4(H)-quinolines (IV).

IT **38986-57-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 38986-57-1 CA
CN Carbamic acid, diethyl-, 6,8-dichloro-3-methyl-2-(trifluoromethyl)-4-quinolinyl ester (9CI) (CA INDEX NAME)



10/681,192

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L1                STRUCTURE UPLOADED
L2             7 S L1 SAM
L3           207 S L1 FULL

     FILE 'CA' ENTERED AT 13:42:50 ON 22 SEP 2004
L4            24 S L3

     FILE 'MARPAT' ENTERED AT 13:43:11 ON 22 SEP 2004
L5           115 S L1 FULL

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---Logging off of STN---


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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 13:44:47 ON 22 SEP 2004
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